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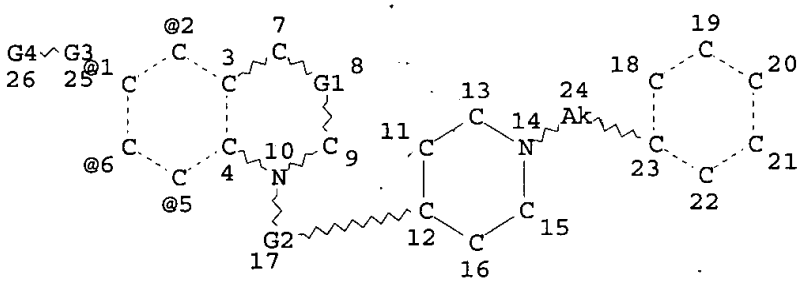
L4 STR



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REP G2=(0-7) CH2
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VAR G4=H/ME/ET/I-PR/N-PR
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 10 12 23
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

=> search l4
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l3
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 14:17:24 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 542 TO ITERATE

100.0% PROCESSED 542 ITERATIONS 542 ANSWERS
SEARCH TIME: 00.00.02

L5 542 SEA SUB=L3 SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	179.41	179.62

FILE 'CAPLUS' ENTERED AT 14:17:38 ON 27 SEP 2002

strictly prohibited.

FILE COVERS 1907 - 27 Sep 2002 VOL 137 ISS 14
FILE LAST UPDATED: 26 Sep 2002 (20020926/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l5
L6 16 L5

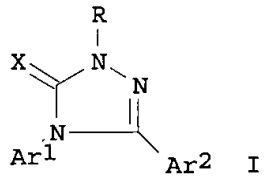
=> s l6 and py<2001
20605225 PY<2001
L7 13 L6 AND PY<2001

=> d bib abs hitstr

L7 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 2000:842129 CAPLUS
DN 134:29418
TI Preparation of New triazoles as pharmaceutically active compounds activity as kinase inhibitors
IN Karabelas, Kostas; Lepisto, Matti; Sjo, Peter
PA AstraZeneca AB, Swed.
SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000071537	A1	20001130	WO 2000-SE1009	20000519	<--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	BR 2000010520	A	20020219	BR 2000-10520	20000519	
	EP 1183252	A1	20020306	EP 2000-931873	20000519	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO					
	NO 2001005664	A	20020121	NO 2001-5664	20011120	
PRAI	SE 1999-1854	A	19990521			
	SE 2000-645	A	20000228			
	WO 2000-SE1009	W	20000519			

OS MARPAT 134:29418
GI



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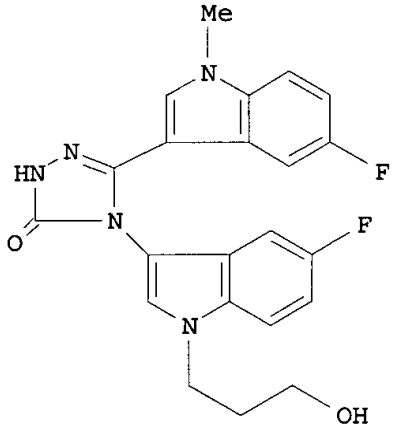


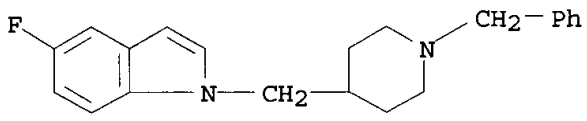
I

AB Title compds. [I; wherein one of Ar and Ar is optionally substitute d bicyclic heteroaryl or optionally substituted tricyclic heteroaryl and the other is optionally substituted heteroaryl or optionally substituted aryl; X is O or S; and R is H, OH, NH or C alkyl (itself optionally substituted by amino or hydroxy)], stereoisomers, salts, and solvates which are protein kinase C inhibitors are prepd. and pharmaceutical compns. comprising them are useful to include prophylactic, diagnostic and therapeutic regimens carried out in vivo or ex vivo on humans or other mammals. Thus, the title compd. II was prepd.

IT **310887-61-7P 310887-62-8P 310887-63-9P**
310887-64-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of triazoles as pharmaceutically active compds. activity as kinase inhibitors)

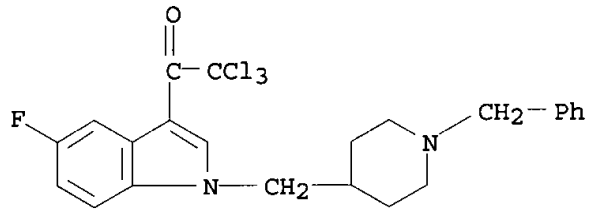
RN 310887-61-7 CAPLUS

CN 1H-Indole, 5-fluoro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

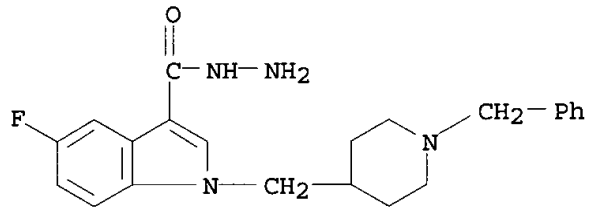


RN 310887-62-8 CAPLUS

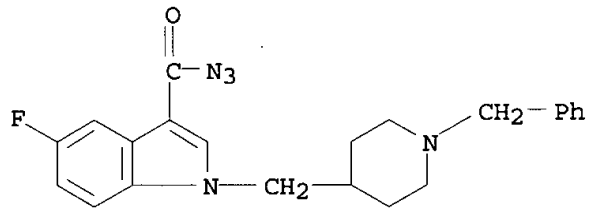
CN Ethanone, 2,2,2-trichloro-1-[5-fluoro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



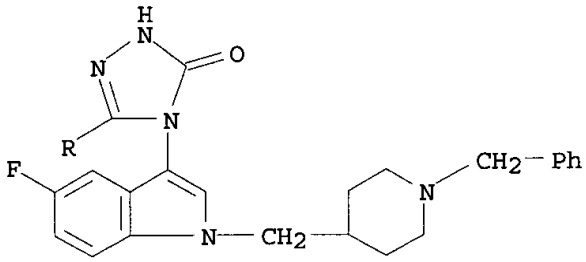
RN 310887-63-9 CAPLUS
CN 1H-Indole-3-carboxylic acid, 5-fluoro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



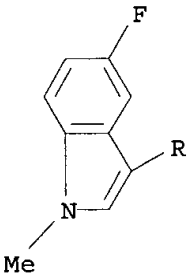
RN 310887-64-0 CAPLUS
CN 1H-Indole-3-carbonyl azide, 5-fluoro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT **310885-99-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of triazoles as pharmaceutically active compds. activity as kinase inhibitors)
RN 310885-99-5 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 5-(5-fluoro-1-methyl-1H-indol-3-yl)-4-[5-fluoro-1-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-indol-3-yl]-2,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl



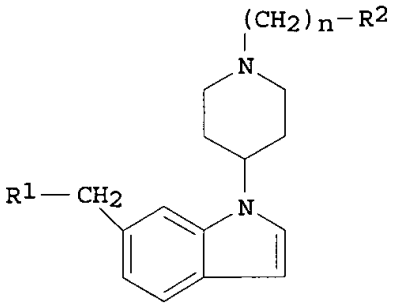
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 2

L7 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 2000:742088 CAPLUS
DN 133:281690
TI Process for the production of indole derivatives and intermediates therefor
IN Sasho, Manabu; Komatsu, Yuki; Miyazawa, Mamoru; Matsuo, Kimihiro; Inoue, Susumu; Ueno, Koshi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000061575	A1	20001019	WO 2000-JP2381	20000412	<--
	W: US					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	JP 2000355591	A2	20001226	JP 2000-73283	20000316	<--
	EP 1179532	A1	20020213	EP 2000-917294	20000412	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
PRAI	JP 1999-104084	A	19990412			
	JP 2000-73283	A	20000316			
	WO 2000-JP2381	W	20000412			

OS CASREACT 133:281690; MARPAT 133:281690
GI



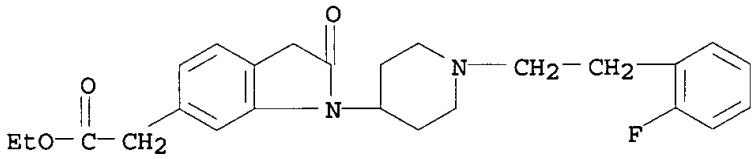
I

AB This document discloses a novel industrially excellent process for the prodn. of 1,4-substituted cyclic amine derivs. useful as drugs; and intermediates therefor. Specifically, this document discloses a process for the prodn. of indole derivs. I [R1 = hydroxymethyl, etc.; R2 = (un)substituted aryl, etc.; n = 0 or 1- 6] characterized by reducing a 1,4-substituted-2-nitrophenyl deriv. into a 1,4-substituted-2-aminophenyl deriv., reacting said aminophenyl deriv. with an N-substituted-4-piperidone deriv. to form a 1,4-substituted-2-piperidylaminophenyl deriv., cyclizing said piperidylaminophenyl deriv. into a 2-oxoindoline deriv., halogenating said oxoindoline deriv. into a 2-haloindole deriv., reducing said haloindole deriv., and, if necessary, subjecting the resulting product to alcoholysis or aminolysis.

IT **300548-41-8P 300548-42-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for prodn. of indole derivs. and intermediates therefor)

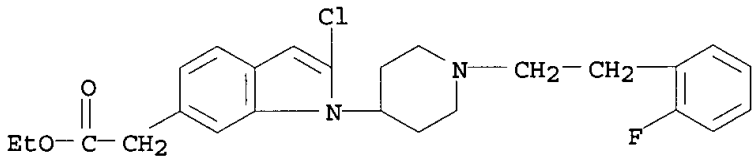
RN 300548-41-8 CAPLUS

CN 1H-Indole-6-acetic acid, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 300548-42-9 CAPLUS

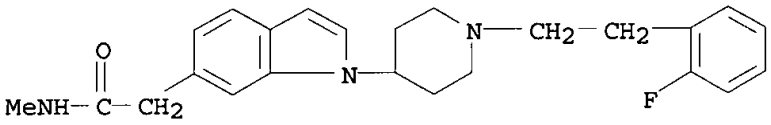
CN 1H-Indole-6-acetic acid, 2-chloro-1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT **265667-22-9P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for prodn. of indole derivs. and intermediates therefor)

RN 265667-22-9 CAPLUS

CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)



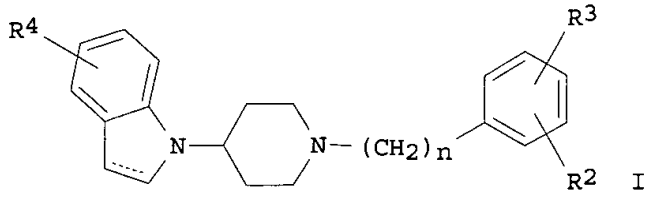
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 3

L7 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 2000:277851 CAPLUS
DN 132:313677
TI Analgesics containing 1-(1-phenethylpiperidin-4-yl)indole, 1-(piperazin-1-yl)-3-phenylisoquinoline, or 4-(piperazin-1-yl)-6-phenylthieno[3,2-c]pyridine derivatives
IN Ueno, Kohshi; Sasaki, Atsushi; Kitazawa, Noritaka; Kawano, Koki; Okabe, Tadashi; Takahashi, Keiko; Matsunaga, Manabu; Shinoda, Yukie
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000023075	A1	20000427	WO 1999-JP5761	19991019 <--
	W: CA, CN, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2000191533	A2	20000711	JP 1999-296106	19991019 <--
	EP 1123702	A1	20010816	EP 1999-947968	19991019
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	JP 1998-296681	A	19981019		
	WO 1999-JP5761	W	19991019		

OS MARPAT 132:313677
GI



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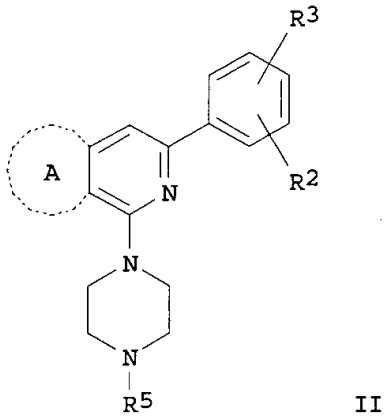


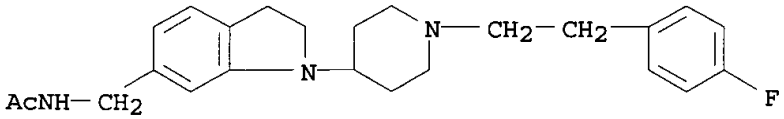
II

AB Novel analgesics for various diseases such as headache and migraine and pain and ache in assocn. with trauma, phys. compression, etc. are described. These analgesics, which are useful for the prevention, treatment, or improvement of pains in humans, contain as the active ingredient benzene derivs. represented by general formula (I or II) or pharmacol. acceptable salts thereof (wherein R2, R3 = H, halo, lower alkyl, lower alkoxy, cyano, lower hydroxyalkyl, lower hydroxyalkoxy, N-lower alkylamino, lower alkylsulfonylaminoalkyl; R4 = lower acylaminoalkyl, amido-lower alkyl, N-lower alkylamino-alkyl; n = 0, 1-3; R5 = lower alkyl, hydroxy-lower alkyl; the ring A represents a benzene or thiophene ring). I and II s.c. showed analgesic activity equal to or greater than that of morphine hydrochloride in acetic acid-induced writhing assay in mice. They were also tested for the binding activity to serotonin (5HT) receptor as well as muscle relaxant activity.

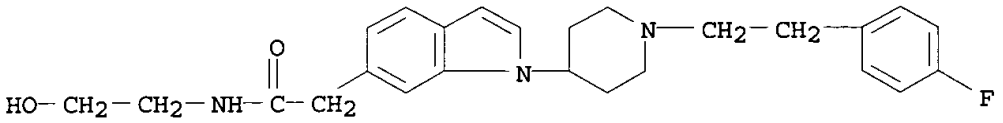
IT **214611-53-7 214613-26-0 214613-27-1**
214613-33-9 214613-49-7 214613-83-9
214613-84-0 214613-89-5 214613-90-8
214618-14-1 265667-20-7 265667-21-8
265667-22-9 265667-23-0 265667-35-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesics contg. 1-(1-phenethylpiperidin-4-yl)indole, 1-(piperazin-1-yl)-3-phenylisoquinoline, or 4-(piperazin-1-yl)-6-phenylthieno[3,2-c]pyridine derivs.)

RN 214611-53-7 CAPLUS

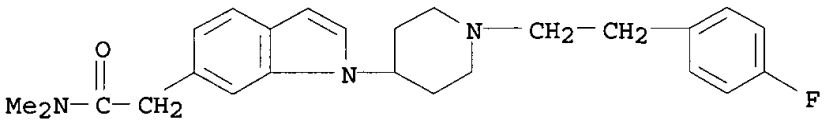
CN Acetamide, N-[[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



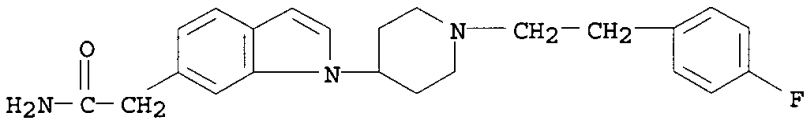
RN 214613-26-0 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



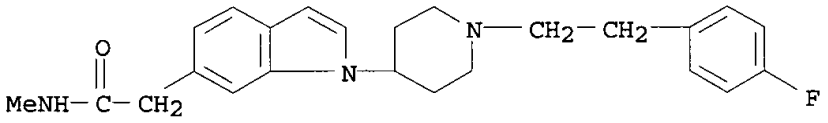
RN 214613-27-1 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



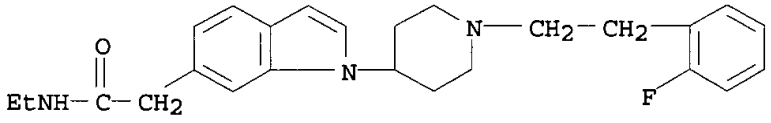
RN 214613-33-9 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



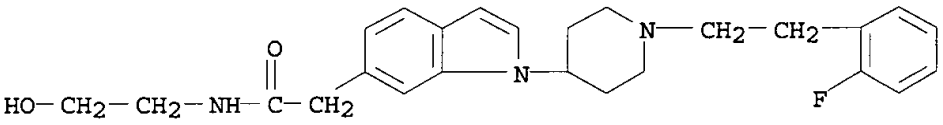
RN 214613-49-7 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)



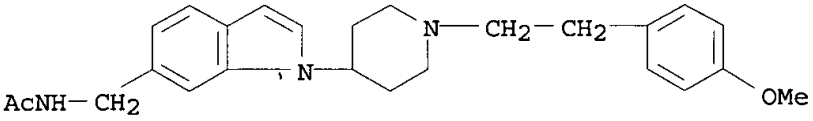
RN 214613-83-9 CAPLUS
CN 1H-Indole-6-acetamide, N-ethyl-1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



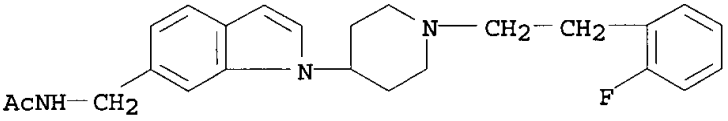
RN 214613-84-0 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 214613-89-5 CAPLUS
CN Acetamide, N-[[1-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



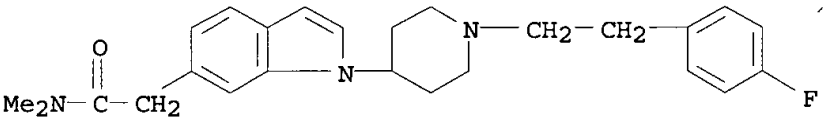
RN 214613-90-8 CAPLUS
CN Acetamide, N-[[1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



RN 214618-14-1 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

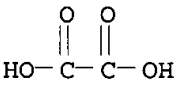
CM 1

CRN 214613-27-1
CMF C25 H30 F N3 O

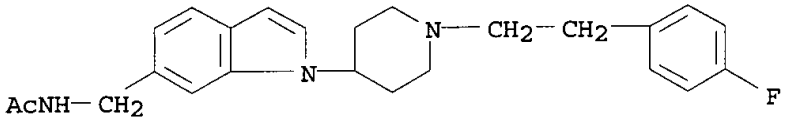


CM 2

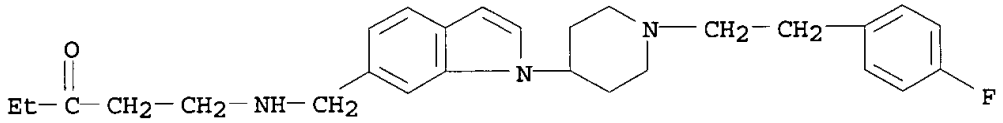
CRN 144-62-7
CMF C2 H2 O4



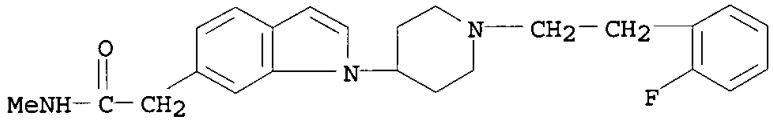
RN 265667-20-7 CAPLUS
CN Acetamide, N-[[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



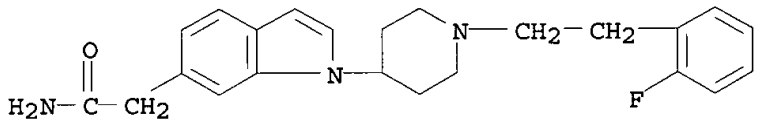
RN 265667-21-8 CAPLUS
CN 3-Pentanone, 1-[[[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]amino]- (9CI) (CA INDEX NAME)



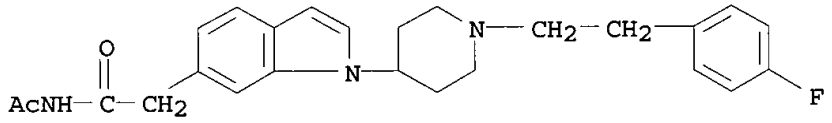
RN 265667-22-9 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 265667-23-0 CAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 265667-35-4 CAPLUS
CN 1H-Indole-6-acetamide, N-acetyl-1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

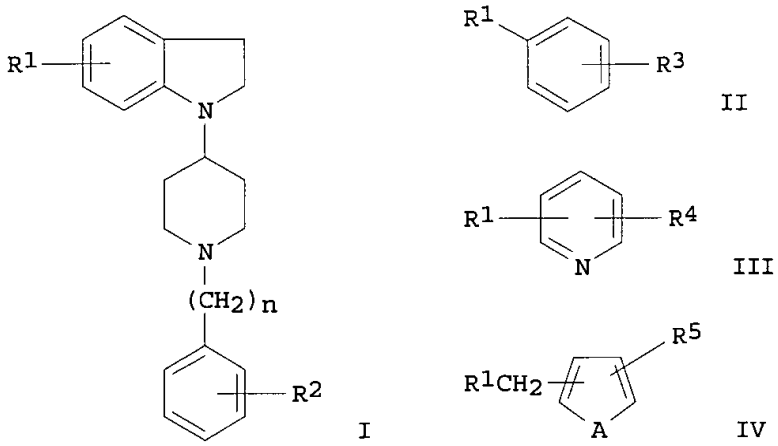
=> d bib abs 4-13

L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1999:583196 CAPLUS
DN 131:214193
TI Method for preparation of (arylmethyl)amine and (heterocyclylmethyl)amine derivatives by reduction of aryl or heterocyclyl nitriles with sodium borohydride
IN Miyazawa, Mamoru; Chiba, Hiroyuki

PA Eisai Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 11246552	A2	19990914	JP 1998-303429	19981026 <--
PRAI JP 1997-291935		19971024		

OS CASREACT 131:214193; MARPAT 131:214193
GI



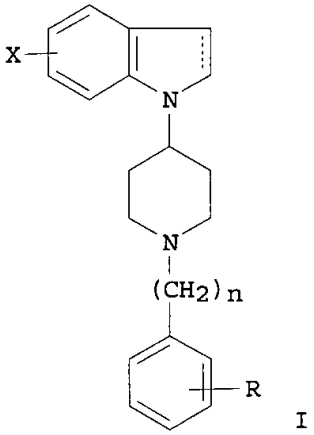
AB Nitriles [I, II, III, and IV; R1 = cyano; R2 - R5 = H, lower alkyl, lower alkoxy, halo; n = 1-3; A = S, O, NH] are reduced by NaBH4 in the presence of H2SO4 to give amines I, II, III, and IV (R1 = NH2CH2; R2 - R5, n, A = same as above). This redn. is economical and industrially advantageous and safely, readily, and inexpensively gives amines in high yields which are useful as intermediates for drugs, flavoring materials, and dyes. Thus, 10.0 g 1-[1-(4-fluorophenethyl)piperidin-4-yl]-6-cyanoindoline was dissolved in a suspension of 3.24 g NaBH4 in ethylene glycol di-Me ether, followed by adding dropwise 3.18 mL H2SO4 with stirring under ice-cooling. After heating the reaction mixt. at 60.degree. for 30 min with stirring, the progress of the reaction was monitored by HPLC and 0.80 mL H2SO4 was added dropwise five times. The reaction mixt. was dild. with 100 mL tert-Bu Me ether under ice-cooling, quenched with 20 mL MeOH, and treated with 100 mL 4 N aq. NaOH to give a soln. contg. 1-[1-(4-fluorophenethyl)piperidin-4-yl]-6-(aminomethyl)indoline. To the latter soln. was added dropwise 3.0 mL Ac2O and stirred for 10 min to give 85% 1-[1-(4-fluorophenethyl)piperidin-4-yl]-6-(acetamidomethyl)indoline.

L7 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1999:481322 CAPLUS
DN 131:129905
TI Preparation of 1-(piperidin-4-yl)-6-cyanoindolines
IN Urawa, Yoshio; Naka, Hiroyuki; Matsui, Makoto; Abe, Taichi; Shimizu, Hisakazu
PA Eisai Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11209373	A2	19990803	JP 1998-314394	19981105 <--
PRAI	JP 1997-302806		19971105		

OS CASREACT 131:129905; MARPAT 131:129905

GI



I

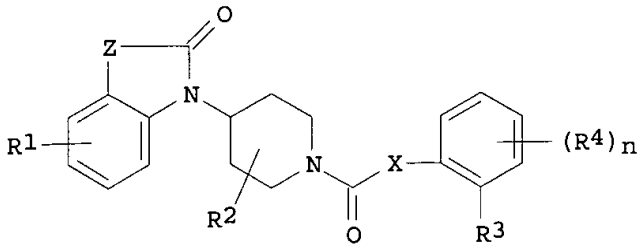
AB Title compds. I (X = CN; R = H, F, Cl, lower alkyl, lower alkoxy; n = 0-3; dotted line = optional double bond) are prepd. by reaction of haloindolines I (X = Br, I; R, n = same as above; dotted line = optional double bond) with Zn(CN)2. Acetamidomethylindolines I (X = MeCONHCH2; R, n = same as above; dotted line = optional double bond) are prepd. by catalytic redn. of cyanoindolines I (X = CN; R, n = same as above; dotted line = optional double bond) in the presence of Pd hydroxide or Raney Co and acetylation. 1-[1-(4-Fluorophenethyl)piperidin-4-yl]-6-bromoindoline was cyanated with Zn(CN)2 in DMF in the presence of Pd(PPh3)4 at 80.degree. for 4 h to give 76% 1-[1-(4-fluorophenethyl)piperidin-4-yl]-6-cyanoindoline, which was reduced in the presence of Pd hydroxide and HCl in MeOH at room temp. under 5 kg/cm2 H for 9 h and acetylated with Ac2O in the presence of NEt3 at room temp. for 20 min to give 70% 1-[1-(4-fluorophenethyl)piperidin-4-yl]-6-acetamidomethylindoline.

L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1999:222447 CAPLUS
DN 130:237576
TI Preparation of benzoxazinone or quinolinone compounds as tocolytic oxytocin receptor antagonists
IN Bell, Ian M.; Freidinger, Roger M.; Perlow, Debra S.; Sparks, Michelle A.; Stauffer, Kenneth; Williams, Peter D.
PA Merck and Co., Inc., USA
SO Brit. UK Pat. Appl., 139 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2326410	A1	19981223	GB 1998-13103	19980617 <--
	US 6090805	A	20000718	US 1998-95232	19980610 <--
PRAI	US 1997-50139P	P	19970618		
	GB 1998-229	A	19980106		

OS MARPAT 130:237576

GI



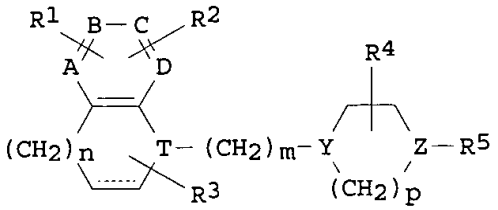
I

AB The title compds. I [Z = CH2O where O is attached directly to the carbonyl, CH:CH, CH2CH2; X = O, CH2, CF2; R1 = H, halo, alkyl; R2 = H, alkyl, CH2OH, CONH2; R3 = H, alkoxy, = (un)substituted Ph, etc.; R4 = H, halo, alkoxy, etc.], tocolytic oxytocin receptor antagonists, were prepd. E.g, 1-(1-(2-(2,2,2-trifluoroethoxy)-4-fluorophenylacetyl)piperidin-4-yl)-4H-3,1-benzoxazin-2(1H)-one was prepd. in several steps.

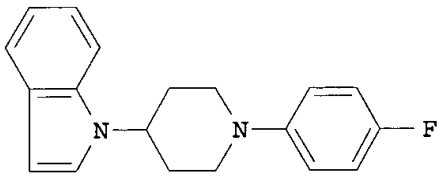
L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1998:682229 CAPLUS
DN 129:302552
TI Preparation of 1,4-disubstituted cyclic amine derivatives as serotonin antagonists
IN Kitazawa, Noritaka; Ueno, Kohshi; Takahashi, Keiko; Kimura, Teiji; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Komatsu, Makoto; Matsunaga, Manabu; Kubota, Atsuhiko
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 635 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9843956	A1	19981008	WO 1998-JP1481	19980331	<--
	W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US					
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	AU 9865209	A1	19981022	AU 1998-65209	19980331	<--
	AU 748038	B2	20020530			
	ZA 9802707	A	19991020	ZA 1998-2707	19980331	<--
	EP 976732	A1	20000202	EP 1998-911137	19980331	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
	US 6448243	B1	20020910	US 1999-367227	19990811	
	NO 9904720	A	19991130	NO 1999-4720	19990928	<--
	US 2002086999	A1	20020704	US 2001-846259	20010502	
	US 2002019531	A1	20020214	US 2001-859517	20010518	
PRAI	JP 1997-98433	A	19970331			
	JP 1997-366764	A	19971226			
	WO 1998-JP1481	W	19980331			
	US 1999-367227	A3	19990811			

OS MARPAT 129:302552
GI



I



II

AB The title compds. (I; A, B, C, D, T, Y, and Z each represents a methine group or a nitrogen atom; R1, R2, R3, R4, and R5 each represents a substituent, such as halo, OH, hydroxyalkoxy, lower alkyl, etc.; n is an integer of 0 to 3; m is an integer of 0 to 6; and p is an integer of 1 to 3; dotted bond represents a single or double bond) are prepd. I have serotonin antagonism and serve as drugs for the treatment, alleviation and prevention of spastic paralysis or a central muscle relaxant for alleviating myotonia. Thus, indoline was reacted with 1-(4-fluorophenyl)-4-piperidone in the presence of NaB(OAc)3 in AcOH and dichloroethane to give 63% the title compd. (II), which showed binding activity of 623.94 and > 200 nM for 5HT1a and 5HT2 resp.

L7 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1998:521746 CAPLUS
DN 129:272411
TI Radiosynthesis of [11C]Lu 29-024: A potential radiotracer for 5HT2 receptors PET studies
AU Amokhtari, Mostafa; Andersen, Kim; Ibazizene, Meziane; Dhilly, Martine; Dauphin, Francois; Barre, Louisa
CS Cea-Dsv/Drm-Gdm-Tep, Universite De Caen, Caen, Fr.
SO Nuclear Medicine and Biology (**1998**), 25(6), 517-522
CODEN: NMBIEO; ISSN: 0969-8051
PB Elsevier Science Inc.
DT Journal
LA English
AB For mapping 5-HT2 receptors in the central nervous system with positron emission tomog. (PET), 2, 5-dimethyl-3-(4-fluorophenyl)-1-(1-[11C]methyl-4-piperidinyl)-1H-indole ([11C]Lu29-024) has been prepd. The precursor for the radiosynthesis of [11C]Lu29-024 was obtained in an overall yield of 53% by a convenient five-step synthesis; its reaction with [11C]methyl iodide afforded [11C]Lu29-024 in 35-50% radiochem. yield (decay cor.) in 45 to 50 min with a specific radioactivity ranging from 11 to 15 GBq/.mu.mol. Following IV injections into rats, the anal. of plasma samples showed that the metab. of [11C]Lu29-024 was rapid and extensive (60% of the original tracer was metabolized at 40 min). In contrast, only unmetabolized [11C]Lu29-024 could be detected in brain tissue. These biol. results suggest that labeled metabolites have no access to brain tissue and further propose [11C]Lu29-024 as an interesting tool for PET studies of brain 5HT2 receptors.

L7 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1998:147313 CAPLUS
DN 128:204906
TI Preparation of quinoline, 4H-1,4-benzoxazine, and 4H-1,4-benzothiazine derivatives as psychotropic agents
IN Hasegawa, Toshifumi; Sato, Eriko; Akiyama, Yoshihisa; Mori, Tomohisa; Yamauchi, Miki; Imanishi, Taiichiro; Imai, Takahiro; Kubota, Dai
PA Meiji Seika Kaisha, Ltd., Japan; Hasegawa, Toshifumi; Sato, Eriko; Akiyama, Yoshihisa; Mori, Tomohisa; Yamauchi, Miki; Imanishi, Taiichiro; Imai, Takahiro; Kubota, Dai
SO PCT Int. Appl., 95 pp.

CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9807703	A1	19980226	WO 1997-JP2925	19970822 <--
W: CN, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 934932	A1	19990811	EP 1997-936858	19970822 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI JP 1996-221003 19960822
WO 1997-JP2925 19970822
OS MARPAT 128:204906
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed is a psychotropic agent compn. comprising a compd. represented by general formula [I; the solid line accompanied by a dotted line represents a single or double bond; m = an integer of 1 to 4; R1, R2 = H, halo, OH, cyano, NO2, CF3, ORa, SRa, SORa, SO2 NRaRb, NRaCORb, NRaCO2Rb, CORa, CO2Ra, optionally halo-substituted lower alkyl; wherein Ra, Rb = H, optionally halo-substituted lower alkyl; X = CH, CH2, O, S, SO, or SO2; Y, Z = CH or N; V = O or (CH2)n; wherein n is an integer of 1 to 4; W = a group selected among those represented by formulas Q1, Q2, and Q3; wherein J = CH2, O; Q = O, S, NH; R3, R4 = H, halo, cyano, or optionally halo-substituted lower alkyl, or R3 and R4 are combined together with carbon atoms attached to them to form a 5- or 6-membered (un)satd. ring optionally contg. .gtoreq.1 O, N, or S; J = CH2 or O; Q = O, S, or NH], pharmacol. acceptable salts thereof, or solvates thereof. This compn. is used as an anxiolytic, antidepressant, and antipsychotic. The compds. I show high affinity to dopamine D4 receptor but are reduced in extrapyramidal side effects. Thus, 1-(3-bromopropyl)-2(1H)quinolinone, N-(cyclohexylmethyl)piperazine, and K2CO3 were suspended in DMF and heated at 80.degree. for 10 h to give the title compd. (II). II and the compd. (III) in vitro showed ki of 7.6 and 1.0, resp., for inhibiting the binding of [3H]spiperone to cloned cells expressing human dopamine D4 receptor.

L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1997:613831 CAPLUS
DN 127:278203
TI Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
IN Bock, Mark G.; Evans, Ben E.; Williams, Peter D.; Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs, Doug W.; Anderson, Paul S.
PA Merck and Co., Inc., USA
SO U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5665719	A	19970909	US 1995-470693	19950606 <--

PRAI US 1993-92840 B2 19930716
OS MARPAT 127:278203
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I [X = O, NH, or NR8; Y = CH2, CHR8, or C(R8)2; R1 = camphor-10-yl, alkoxy, styryl, hydroxystyryl, furyl, (un)substituted thienyl, naphthyl, indolyl, tetrahydronaphthyl, (un)substituted pyridyl, pyrazinyl, (un)substituted cyclohexyl or Ph; R2 = H, alkoxy, alkyl, amino, alkylcarbonylamino, nitro, or halo; R3 = H, alkoxycarbonyl, cyano, or carbamoyl; and m = 0 or 1] and various analogs are disclosed. The compds. as useful as oxytocin (OT) and vasopressin receptor antagonists. Over 275 synthetic examples are given. For instance, Me 2,4-dihydroxybenzoate underwent Mitsunobu etherification with N-(tert-butoxycarbonyl)-4-piperidinol (51%), followed by O-methylation of the remaining hydroxyl (88%), sapon. of the Me ester (95%), and coupling of the resultant acid with 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one (HCl salt) using EDC and HOBt (88%), to give title compd. II [R = CO2Bu-tert]. The latter was deprotected with HCl in dioxane (93%) and acetylated with Ac2O (89%) to give title compd. II [R = Ac]. The latter inhibited binding of [3H]-OT to rat uterine OT receptors in vitro with an IC50 of 47 nM.

L7 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1997:324898 CAPLUS
DN 127:65662
TI The nucleophilic ring-opening of N-benzylquinuclidinium bromide
AU Axelsson, Oskar; Peters, Dan
CS NeuroSearch A/S, Glostrup, DK-2600, Den.
SO Journal of Heterocyclic Chemistry (**1997**), 34(2), 461-463
CODEN: JHTCAD; ISSN: 0022-152X
PB HeteroCorporation
DT Journal
LA English
OS CASREACT 127:65662
AB N-Benzylquinuclidinium bromide was ring opened by a series of heteronucleophiles, in the presence of cesium carbonate, to yield the corresponding N-benzyl-4-(2-hetero-ethyl)piperidines. The best yields were found with thiophenol (56%), phenol (55%), and benzimidazole (38%) as nucleophiles.

L7 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2002 ACS
AN 1997:293836 CAPLUS
DN 126:264004
TI Preparation and formulation of indole derivatives as neuropeptide Y receptor antagonists
IN Britton, Thomas C.; Bruns, Robert F., Jr.; Gehlert, Donald R.; Hipskind, Philip A.; Lobb, Karen L.; Nixon, James A.; Ornstein, Paul L.; Smith, Edward C. R.; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.
PA Lilly, Eli, and Co., USA
SO PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9709308	A1	19970313	WO 1996-US14163	19960830 <--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM

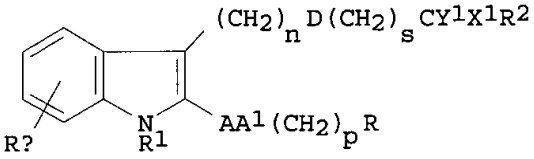
	US 6245761	B1	20010612	US 1996-705379	19960829
	CA 2203912	AA	19970313	CA 1996-2203912	19960830 <--
	AU 9669650	A1	19970327	AU 1996-69650	19960830 <--
	AU 717422	B2	20000323		
	EP 789688	A1	19970820	EP 1996-930691	19960830 <--

R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

	BR 9606619	A	19971223	BR 1996-6619	19960830 <--
	CN 1173867	A	19980218	CN 1996-191324	19960830 <--
	JP 10508321	T2	19980818	JP 1996-511344	19960830 <--
	NO 9702016	A	19970617	NO 1997-2016	19970430 <--
PRAI	US 1995-3150P	P	19950901		
	GB 1995-23999	A	19951123		
	US 1996-21638P	P	19960712		
	WO 1996-US14163	W	19960830		

OS MARPAT 126:264004

GI



I

AB The title compds. I [Ra = H, alkyl, etc.; R1 = H, alkyl, etc.; A = bond, CO, etc.; A1 = bond, O, etc.; n, p, s = 0 - 6; D = bond, etc.; one of X1 and Y1 is hydroxy and the other is hydrogen; or both X1 and Y1 are hydrogen, or X1 and Y1 combine to form oxo, etc.; R2 = OH, etc.; R = Ph, etc.] are prepd. I are useful in treating or preventing a condition assocd. with an excess of neuropeptide Y. Many of the compds. of this invention are said to show significant activity as neuropeptide Y receptor antagonists (Ki = 10 .mu.M to 0.1 nM).

L7 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2002 ACS

AN 1997:42364 CAPLUS

DN 126:157379

TI Synthesis and pharmacological activity of metabolites of vasopressin V1 receptor antagonist, OPC-21268

AU Otsubo, Kenji; Matsubara, Jun; Ohtani, Tadaaki; Kawano, Yoshikazu; Kitano, Kazuyoshi; Morita, Seiji; Kondo, Kazumi; Yamamura, Yoshitaka; Uchida, Minoru

CS Tokushima Res. Inst., Otsuka Pharmaceutical Co., Ltd., Tokushima, 771-01, Japan

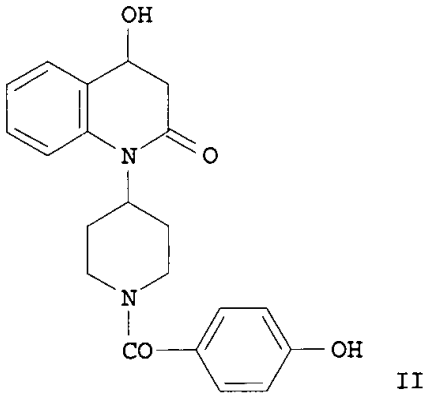
SO Heterocycles (**1996**), 43(12), 2627-2642
CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

GI



II

AB The metabolites of 1-[1-[4-(3-acetylaminopropoxy)benzoyl]-4-piperid-yl]-3,4-dihydro-2(1H)-quinolinone (OPC-21268, I), vasopressin V1 receptor antagonist, were synthesized to confirm the proposed structures and to exam. their vasopressin V1 receptor antagonistic activity. The structures of metabolites, e.g., II, were identified by means of comparison with synthetic compds. The activity of the metabolites was found to be lower than that of I.

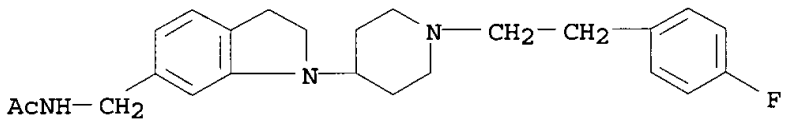
=> d hitstr 4

L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2002 ACS

IT **214611-53-7P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (arylmethyl)amine and (heterocyclylmethyl)amine derivs. by redn. of aryl or heterocyclyl nitriles with sodium borohydride in presence of sulfuric acid)

RN 214611-53-7 CAPLUS

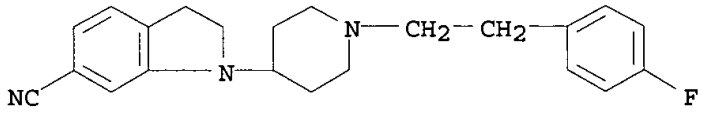
CN Acetamide, N-[[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



IT **214611-44-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (arylmethyl)amine and (heterocyclylmethyl)amine derivs. by redn. of aryl or heterocyclyl nitriles with sodium borohydride in presence of sulfuric acid)

RN 214611-44-6 CAPLUS

CN 1H-Indole-6-carbonitrile, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

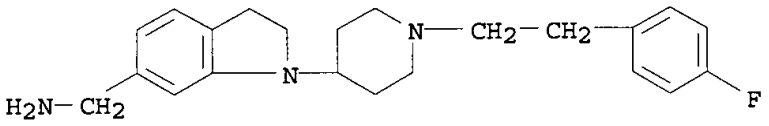


IT **214611-52-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (arylmethyl)amine and (heterocyclylmethyl)amine derivs. by redn. of aryl or heterocyclyl nitriles with sodium borohydride in presence of sulfuric acid)

RN 214611-52-6 CAPLUS

CN 1H-Indole-6-methanamine, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



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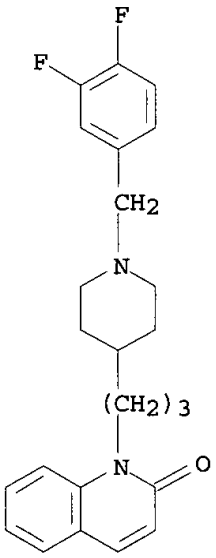
L7 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS

IT **203859-60-3P 203859-65-8P 203859-73-8P**
203859-74-9P 203859-75-0P 203859-76-1P
203859-77-2P 203859-78-3P 203859-79-4P
203859-89-6P 203859-90-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinoline, benzoxazine, and benzothiazine derivs. with dopamine D4 receptor affinity as psychotropic agents)

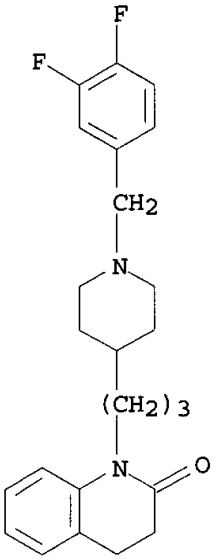
RN 203859-60-3 CAPLUS

CN 2(1H)-Quinolinone, 1-[3-[1-[(3,4-difluorophenyl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

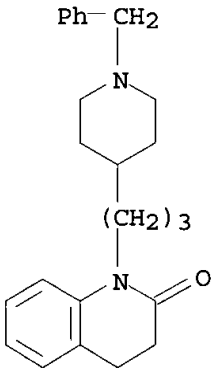


RN 203859-65-8 CAPLUS

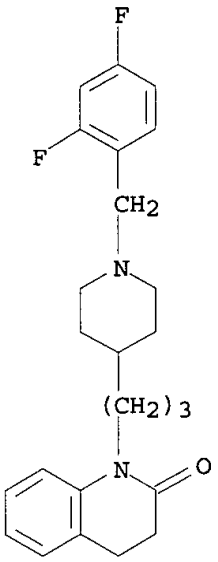
CN 2(1H)-Quinolinone, 1-[3-[1-[(3,4-difluorophenyl)methyl]-4-piperidinyl]propyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



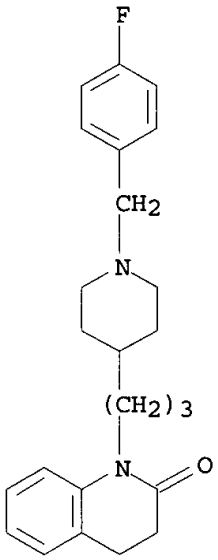
RN 203859-73-8 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[1-(phenylmethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



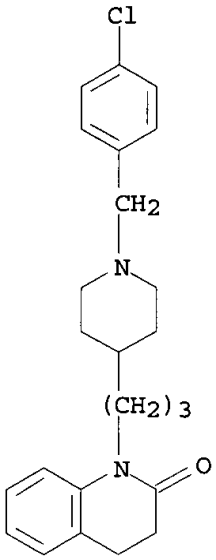
RN 203859-74-9 CAPLUS
CN 2(1H)-Quinolinone, 1-[3-[1-[(2,4-difluorophenyl)methyl]-4-piperidinyl]propyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



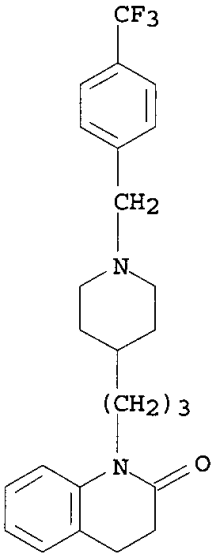
RN 203859-75-0 CAPLUS
CN 2(1H)-Quinolinone, 1-[3-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]propyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



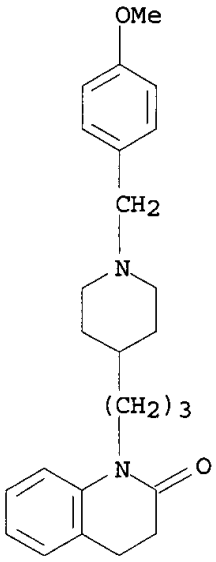
RN 203859-76-1 CAPLUS
CN 2(1H)-Quinolinone, 1-[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]propyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



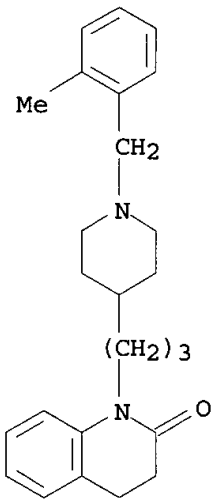
RN 203859-77-2 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[1-[[4-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



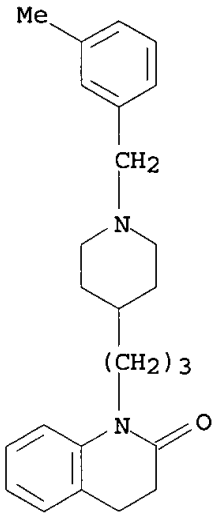
RN 203859-78-3 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



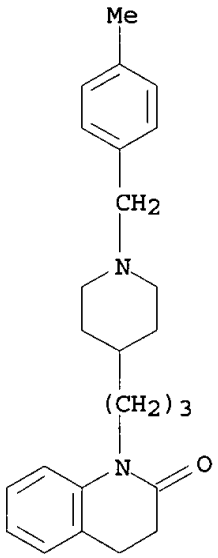
RN 203859-79-4 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 203859-89-6 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[1-[(3-methylphenyl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 203859-90-9 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-[3-[1-[(4-methylphenyl)methyl]-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



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L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2002 ACS
IT **196794-60-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)
RN 196794-60-2 CAPLUS
CN Piperidine, 4-(3,4-dihydro-2-oxo-1(2H)-quinolinyl)-1-[2-methoxy-4-(4-

piperidinyloxy)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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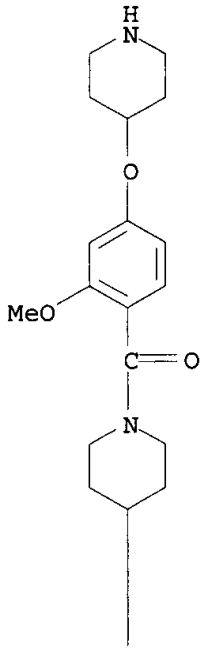
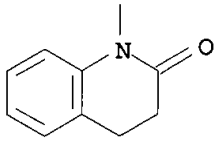


PAGE 2-A



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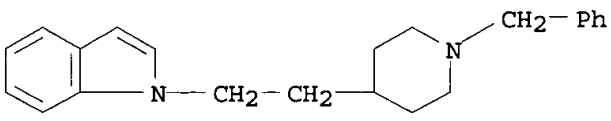
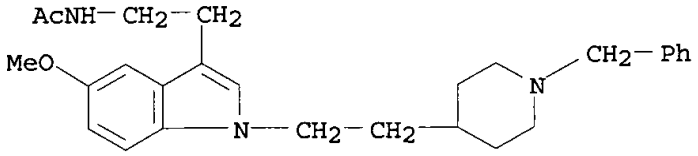
L7 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2002 ACS
IT **191344-43-1P 191344-47-5P 191344-74-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 191344-43-1 CAPLUS
CN 1H-Indole, 1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



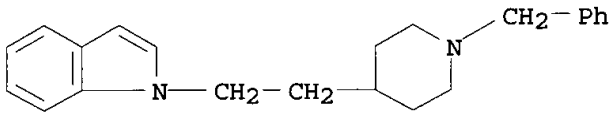
RN 191344-47-5 CAPLUS
CN Acetamide, N-[2-[5-methoxy-1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-1H-indol-3-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 191344-74-8 CAPLUS
CN 1H-Indole, 1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 191344-43-1
CMF C22 H26 N2



CM 2

CRN 144-62-7
CMF C2 H2 O4